10559824

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NEWS 4	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS 5	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS 6	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS 7	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS 8	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses
NEWS 9	APR 02	CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases
NEWS 10	APR 02	PATDPAFULL: Application and priority number formats enhanced
NEWS 11	APR 02	DWPI: New display format ALLSTR available
NEWS 12	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS 13	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS 14	APR 07	CA/CAplus CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields
NEWS 15	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in CAplus
NEWS 16	APR 07	MEDLINE Coverage Is Extended Back to 1947
NEWS 17	JUN 16	WPI First View (File WPIFV) will no longer be available after July 30, 2010
NEWS 18	JUN 18	DWPI: New coverage - French Granted Patents
NEWS 19	JUN 18	CAS and FIZ Karlsruhe announce plans for a new STN platform
NEWS 20	JUN 18	IPC codes have been added to the INSPEC backfile (1969-2009)
NEWS 21	JUN 21	Removal of Pre-IPC 8 data fields streamline displays in CA/CAplus, CASREACT,and MARPAT
NEWS 22	JUN 21	Access an additional 1.8 million records exclusively enhanced with 1.9 million CAS Registry Numbers -- EMBASE Classic on STN
NEWS 23	JUN 28	Introducing "CAS Chemistry Research Report": 40 Years of Biofuel Research Reveal China Now Atop U.S. in

```
                  Patenting and Commercialization of Bioethanol
 NEWS 24  JUN 29  Enhanced Batch Search Options in DGENE, USGENE,
                  and PCTGEN

 NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
              AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:54:16 ON 29 JUN 2010

=>
=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 11:54:24 ON 29 JUN 2010
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STRUCTURE FILE UPDATES:   28 JUN 2010  HIGHEST RN 1228532-15-7
DICTIONARY FILE UPDATES:  28 JUN 2010  HIGHEST RN 1228532-15-7

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\rita.str
```
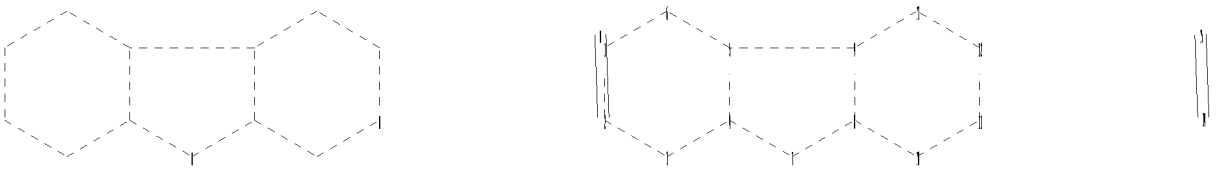
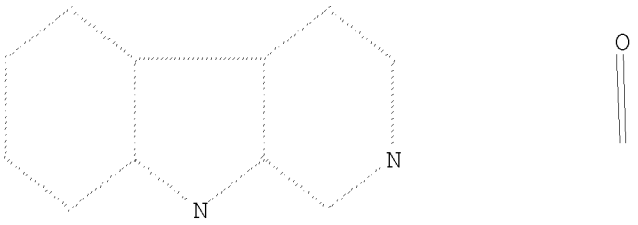



chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
14-15
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 11:54:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6742 TO ITERATE

29.7% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       129917 TO   139763
PROJECTED ANSWERS:           19990 TO    23966

L2           50 SEA SSS SAM L1

=> file stnguide
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.49       0.71

FILE 'STNGUIDE' ENTERED AT 11:55:07 ON 29 JUN 2010
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2010 (20100625/UP).

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.07       0.78

FILE 'REGISTRY' ENTERED AT 11:55:58 ON 29 JUN 2010
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DICTIONARY FILE UPDATES:  28 JUN 2010  HIGHEST RN 1228532-15-7

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  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document.  For information
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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\2rita.str
```
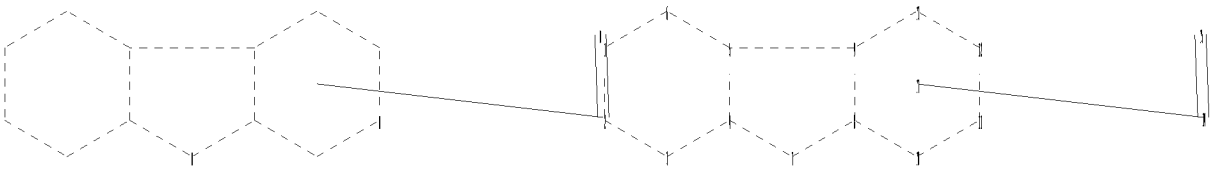
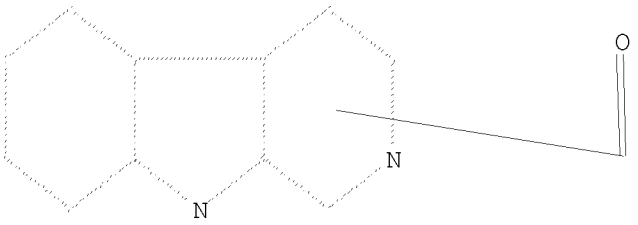



chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
14-15
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 17:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

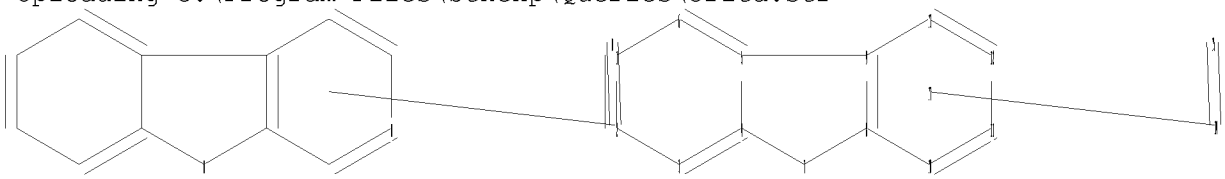
=> s l3 sam
SAMPLE SEARCH INITIATED 11:56:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6742 TO ITERATE

29.7% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 129917 TO 139763
PROJECTED ANSWERS: 8889 TO 11605

L4 50 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\3rita.str

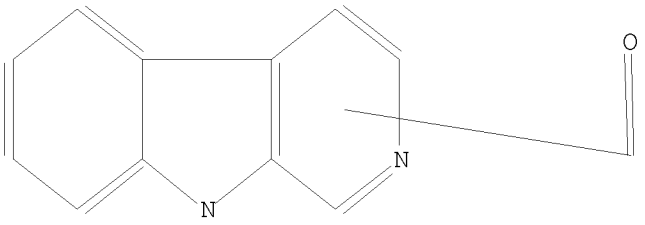


chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
exact/norm bonds :
4-7 5-9 7-8 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-10 9-13 10-11 11-12 12-13
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 17:CLASS

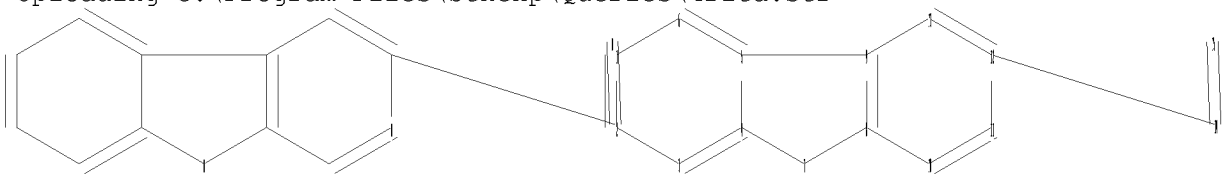
L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

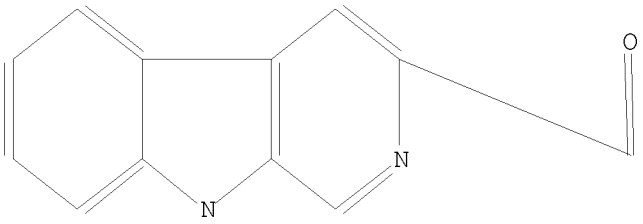
```
=> s l5 sam
SAMPLE SEARCH INITIATED 11:57:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     3452 TO ITERATE

 57.9% PROCESSED     2000 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        65516 TO    72564
PROJECTED ANSWERS:            3001 TO     4661

L6           50 SEA SSS SAM L5

=>
Uploading C:\Program Files\Stnexp\Queries\4rita.str
```



```
chain nodes :
14  15
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13
chain bonds :
12-14  14-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-9  7-8  8-9  8-10  9-13  10-11  11-12  12-13
exact/norm bonds :
4-7  7-8  14-15
exact bonds :
5-9  12-14
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-10  9-13  10-11  11-12  12-13
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS




L7      STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
```

10559824

L7 STR



Structure attributes must be viewed using STN Express query preparation.

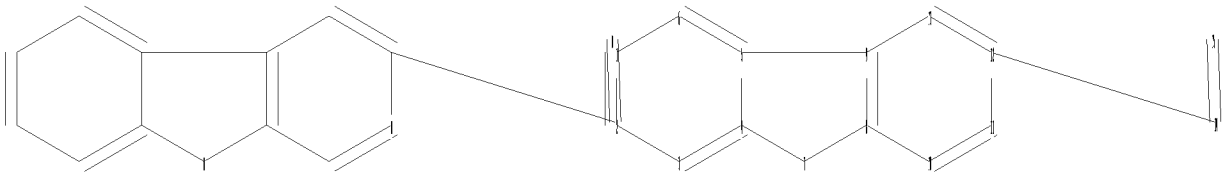
=> s l7 sam
SAMPLE SEARCH INITIATED 11:59:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 292 TO ITERATE

100.0% PROCESSED 292 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4815 TO 6865
PROJECTED ANSWERS: 2991 TO 4649

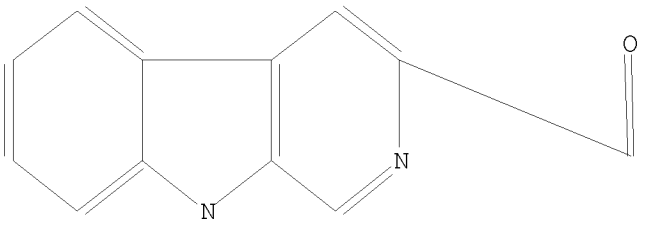
L8 50 SEA SSS SAM L7

=>
Uploading C:\Program Files\Stnexp\Queries\5rita.str



chain nodes :
14 15 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
12-14 14-15
ring bonds :

```
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-9  7-8  8-9  8-10  9-13  10-11  11-12  12-13
exact/norm bonds :
4-7  7-8  14-15
exact bonds :
5-9  12-14
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-10  9-13  10-11  11-12  12-13
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS  17:Atom



L9      STRUCTURE UPLOADED

=>  d l9
L9 HAS NO ANSWERS
L9              STR

        Cb
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l9 sam
SAMPLE SEARCH INITIATED 11:59:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      292 TO ITERATE

100.0% PROCESSED      292 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
```

```
PROJECTED ITERATIONS:         4815 TO     6865
PROJECTED ANSWERS:            1503 TO     2737

L10          50 SEA SSS SAM L9

=> s l9 full
FULL SEARCH INITIATED 12:00:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5698 TO ITERATE

100.0% PROCESSED     5698 ITERATIONS                          1973 ANSWERS
SEARCH TIME: 00.00.01

L11        1973 SEA SSS FUL L9

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 194.48     195.26

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FILE COVERS 1907 - 29 Jun 2010  VOL 153 ISS 1
FILE LAST UPDATED: 28 Jun 2010  (20100628/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Apr 2010

CAplus now includes complete International Patent Classification (IPC)
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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l11
L12          417 L11

=> file reg
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.50     195.76
```

FILE 'REGISTRY' ENTERED AT 12:00:38 ON 29 JUN 2010

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STRUCTURE FILE UPDATES: 28 JUN 2010 HIGHEST RN 1228532-15-7
DICTIONARY FILE UPDATES: 28 JUN 2010 HIGHEST RN 1228532-15-7

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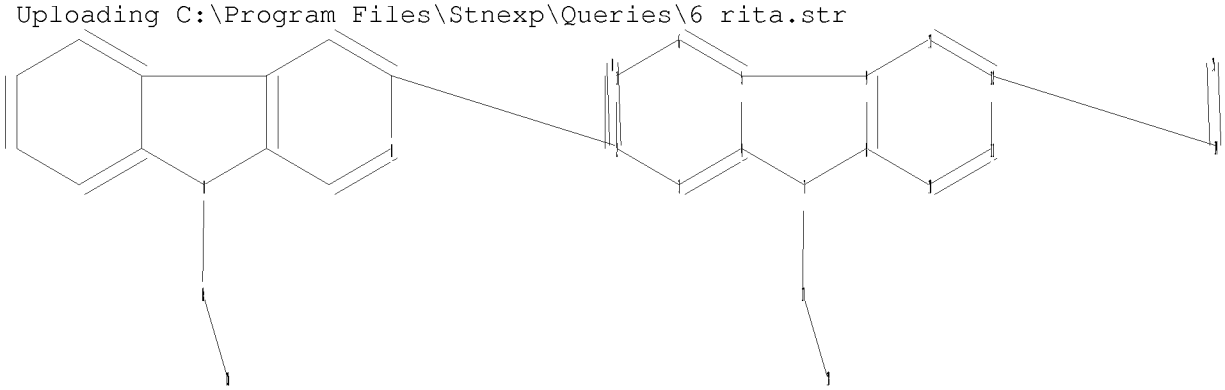
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\6 rita.str



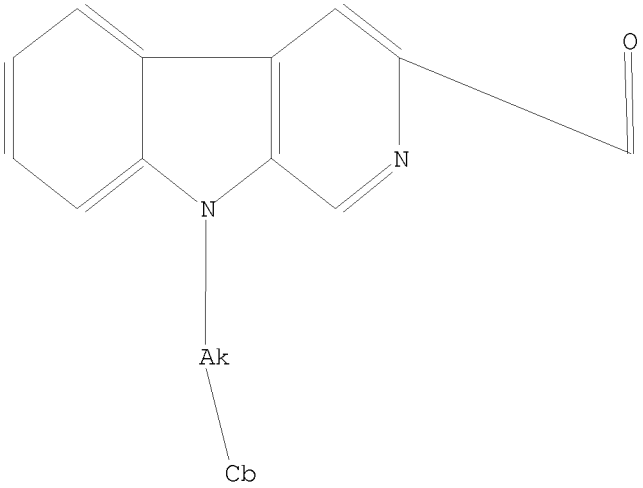
chain nodes :
14 15 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
7-17 12-14 14-15 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13
exact/norm bonds :
4-7 7-8 7-17 14-15 17-18
exact bonds :
5-9 12-14
normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-10 9-13 10-11 11-12 12-13
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 17:CLASS 18:Atom

L13   STRUCTURE UPLOADED

=> d l13
L13 HAS NO ANSWERS
L13   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l13 sam
SAMPLE SEARCH INITIATED 12:02:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   292 TO ITERATE

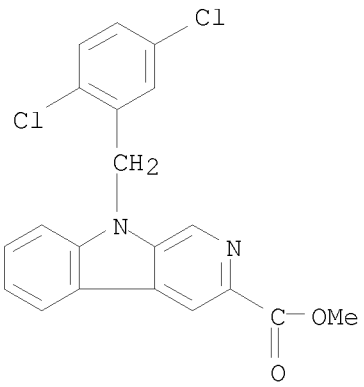
100.0% PROCESSED   292 ITERATIONS   10 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 4815 TO   6865
PROJECTED ANSWERS: 11 TO   389

L14   10 SEA SSS SAM L13

=> d scan

L14 10 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-[(2,5-dichlorophenyl)methyl]-, methyl ester
MF C20 H14 Cl2 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l13 full
FULL SEARCH INITIATED 12:02:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5698 TO ITERATE

100.0% PROCESSED 5698 ITERATIONS 216 ANSWERS
SEARCH TIME: 00.00.01

L15 216 SEA SSS FUL L13

=> file ca
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 192.52 388.28

FILE 'CA' ENTERED AT 12:02:19 ON 29 JUN 2010
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FILE COVERS 1907 - 28 Jun 2010 VOL 153 ISS 1
FILE LAST UPDATED: 28 Jun 2010 (20100628/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CA now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.49	388.77

FILE 'CAPLUS' ENTERED AT 12:02:22 ON 29 JUN 2010
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FILE COVERS 1907 - 29 Jun 2010 VOL 153 ISS 1
FILE LAST UPDATED: 28 Jun 2010 (20100628/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

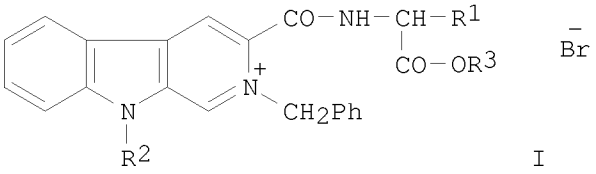
http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l15 full
L16 30 L15

=> d ibib abs fhitstr 1-30

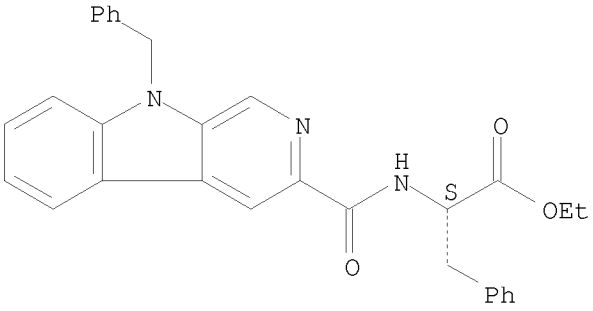
L16 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:296056 CAPLUS
DOCUMENT NUMBER: 152:501647
TITLE: Synthesis and cytotoxic evaluation of N 2-benzylated quaternary β-carboline amino acid ester conjugates
AUTHOR(S): Ma, Chunming; Cao, Rihui; Shi, Buxi; Li, Shaoxue; Chen, Zhiyong; Yi, Wei; Peng, Wenlie; Ren, Zhenhua; Song, Huacan
CORPORATE SOURCE: School of Chemistry and Chemical Engineering, Sun Yat-sen University, Guangzhou, 510275, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2010), 45(4), 1515-1523
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

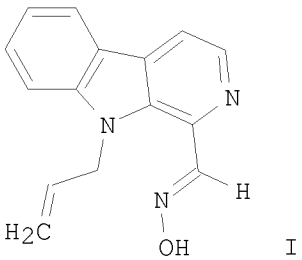
AB The β-carboline alkaloids have been characterized as a class of potential antitumor agents. To further enhance the cytotoxic potency and improve water solubility of β-carboline, a series of new β-carboline amino acid ester, β-carboline amino acid and N 2-benzylated quaternary β-carboline amino acid ester conjugates were designed and synthesized, and the cytotoxic activities of these compds. were evaluated using a panel of human tumor cell lines. The N 2-benzylated quaternary β-carboline amino acid ester conjugates represented the most interesting cytotoxic activities. Particularly, compds. (I) (R1 = Me, R2 = n-C4H9, R3 = Me; R1 = CH2CH2SMe, R2 = CH2Ph, R3 = Et) were found to be the most potent compds. with IC50 values lower than 20 μM against all human tumor cell lines investigated. These results confirmed that the N 2-benzyl substituent on the β-carboline ring played an important role in the modulation of the cytotoxic potencies.
IT 1160060-27-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of benzylated quaternary carboline amino acid ester conjugates via coupling of carboline carboxylic acids with amino acid esters, followed by benzylation or hydrolysis, and theirs cytotoxic structure-activity relationship)
RN 1160060-27-4 CAPLUS
CN L-Phenylalanine, N-[[9-(phenylmethyl)-9H-pyrido[3,4-b]indol-3-yl]carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

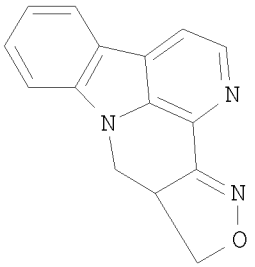


REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:86327 CAPLUS
DOCUMENT NUMBER: 152:335356
TITLE: Versatility of Substituted 1-Formyl-9H-β-carbolines for the Synthesis of New Fused β-Carbolines via Intramolecular 1,3-Dipolar Cycloaddition
AUTHOR(S): Singh, Virender; Hutait, Samiran; Biswas, Subhasish; Batra, Sanjay
CORPORATE SOURCE: Medicinal and Process Chemistry Division, CSIR, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: European Journal of Organic Chemistry (2010), (3), 531-539, S531/1-S531/117
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

AB Substituted 1-formyl-9H-β-carbolines are demonstrated to be viable precursors for the synthesis of a library of new β-carboline-based polycyclic systems via 1,3-dipolar cycloaddn. strategy. E.g., intramol. 1,3-dipolar cycloaddn. of the oxime (I) formed from 1-formyl-9H-β-carboline gave 83%

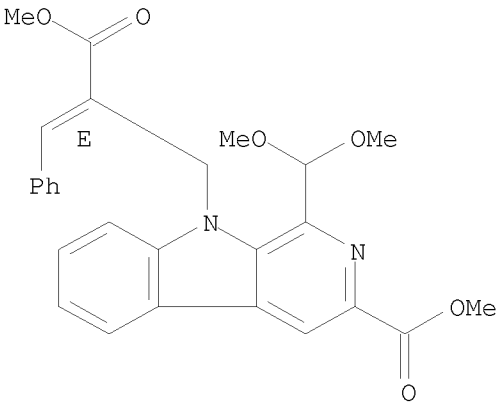
9a,10-dihydro-9H-indolol[3,2,1-ij]isoxazolo[4,3-c][1,5]naphthyridine (II).

IT 1215101-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of a library of β-carboline fused systems via intramol. 1,3-dipolar cycloaddn.)

RN 1215101-63-5 CAPLUS

CN 9H-Pyrido[3,4-b]indole-9-propanoic acid, 1-(dimethoxymethyl)-3-(methoxycarbonyl)-α-(phenylmethylene)-, methyl ester, (αE)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1006136 CAPLUS

DOCUMENT NUMBER: 151:448280

TITLE: Aromatization and chemoselective alkylation of 1-methyl-3,4-dihydro-β-carboline-3-carboxylic acid and its derivatives

AUTHOR(S): Brahmbhatt, Keyur G.; Ahmed, Nafees; Singh, Inder P.; Bhutani, Kamlesh K.

CORPORATE SOURCE: Department of Natural Products, National Institute of Pharmaceutical Education and Research-NIPER, Punjab, S.A.S. Nagar, 160 062, India

SOURCE: Tetrahedron Letters (2009), 50(39), 5501-5504
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:448280

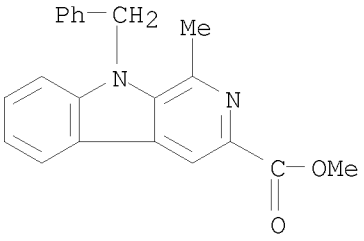
AB Unprecedented aromatization was observed during N-alkylation reactions of 1-methyl-3,4-dihydro-β-carboline-3-carboxylic acid Me ester, giving rise to 9-alkyl-1-methyl-β-carboline-3-carboxylic acid Me esters. Inverse addition of base during a similar reaction resulted in a chemoselective alkylation to form novel 3-butyl-1-methyl-3,4-dihydro-β-carboline-3-carboxylic acid Me ester as the major product in good yield.

IT 1190429-36-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted carbolinecarboxylate derivs. via cyclization of N-acetyl tryptophan followed by esterification or amidation and alkylation with alkyl halides or aromatization)

RN 1190429-36-7 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-methyl-9-(phenylmethyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:957006 CAPLUS

DOCUMENT NUMBER: 151:313906

TITLE: Preparation of N-(3-carboxy-9-benzylcarbolin-1-yl)ethylamino acids as antitumor agents

INVENTOR(S): Peng, Shiqi; Zhao, Ming; Cui, Guohui; Wu, Jianhui

PATENT ASSIGNEE(S): Capital Medical University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 27pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

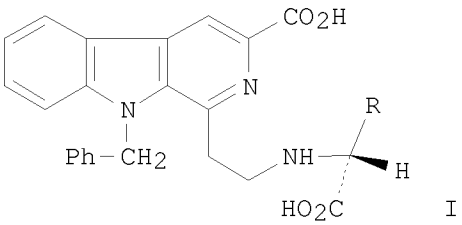
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101497611	A	20090805	CN 2008-10057219	20080130

PRIORITY APPLN. INFO.: CN 2008-10057219 20080130

OTHER SOURCE(S): CASREACT 151:313906; MARPAT 151:313906

GI



I

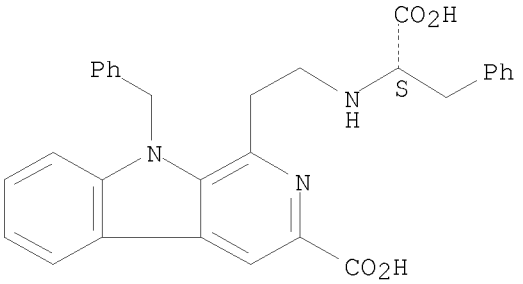
AB Title compds. I (R = amino acid residue) are prepared Thus, tryptophan was converted in several steps to 1-(formylmethyl)-9-benzyl-β-carboline-3-carboxylic acid Me ester, reductive condensation of which with amino acid Me ester hydrochloride gave, after hydrolysis, I. The amino acid Me ester is from phenylalanine Me ester, alanine Me ester, glycine Me ester, valine Me ester, or histidine Me ester, etc. The invention relates to the application of the amino acid-like compound to prepare the medical prepns. for treating neoplasm.

IT 1037673-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(3-carboxy-9-benzylcarbolin-1-yl)ethylamino acids as antitumor agents)

RN 1037673-68-9 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-[2-[[(1S)-1-carboxy-2-phenylethyl]amino]ethyl]-9-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L16 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:933861 CAPLUS

DOCUMENT NUMBER: 151:403134

TITLE: Novel N-(3-carboxyl-9-benzyl-β-carboline-1-yl)ethylamino acids: Synthesis, anti-tumor evaluation, intercalating determination, 3D QSAR analysis and docking investigation

AUTHOR(S): Wu, Jianhui; Zhao, Ming; Qian, Keduo; Lee, Kuo-Hsiung; Morris-Natschke, Susan; Peng, Shiqi

CORPORATE SOURCE: College of Pharmaceutical Sciences, Capital Medical University, Beijing, 100069, Peop. Rep. China

SOURCE: European Journal of Medicinal Chemistry (2009), 44(10), 4153-4161
CODEN: EJMCA5; ISSN: 0223-5234

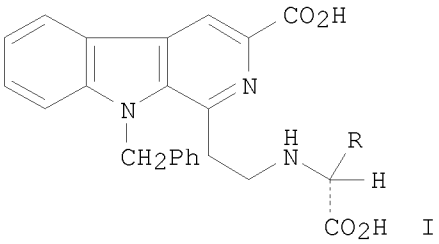
PUBLISHER: Elsevier Masson SAS

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:403134

GI



I

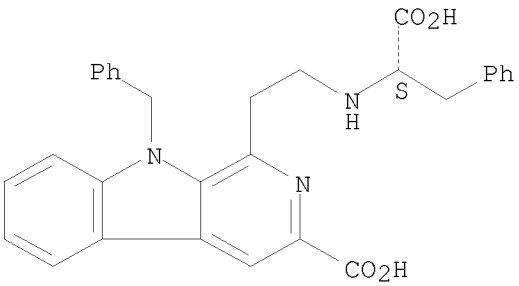
AB Sixteen novel N-(3-carboxyl-9-benzyl-β-carboline-1-yl)ethylamino acids I [R = H, Me, PhCH2, etc.] were synthesized as intercalating lead compds. In the in vitro cytotoxic assay their IC50 values against five human carcinoma cell lines ranged from 10.95 μM to about 400 μM. On S180 mouse model eight of them exhibited anti-tumor action, four of them showed the same anti-tumor potency as that of cytarabine. The preliminary toxicity evaluation revealed that the LD50 values of I should be more than 500 mg/kg. With CT DNA as model system an intercalating mechanism was explored. Using 3D QSAR anal. the relationship of the in vivo anti-tumor activity and the structure was quant. described. By docking I onto d(CGATCG)2 oligonucleotides the intercalation was demonstrated.

IT 1037673-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antitumor activities and 3D QSAR anal. of (carboxylbenzylcarbolinyl)ethylamino acids)

RN 1037673-68-9 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-[2-[[(1S)-1-carboxy-2-phenylethyl]amino]ethyl]-9-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:593247 CAPLUS

DOCUMENT NUMBER: 151:33818

TITLE: Harmine derivatives and their application as antitumor

agent

INVENTOR(S): Cao, Rihui; Wu, Jialin; Yu, Fusheng; Wang, Zihou; Peng, Wenlie

PATENT ASSIGNEE(S): Xinjiang Huashidan Pharmaceutical Research Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 82pp.
CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101429198	A	20090513	CN 2007-10180027	20071109
PRIORITY APPLN. INFO.:			CN 2007-10180027	20071109

OTHER SOURCE(S): CASREACT 151:33818; MARPAT 151:33818

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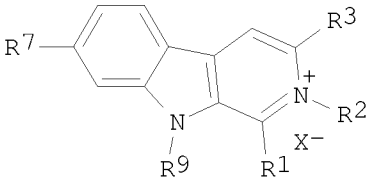


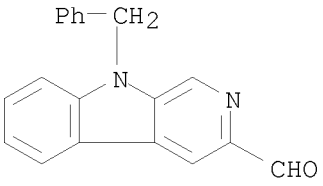
I

AB The disclosed Harmine derivs. have a general shown in I (R1 = H, C1-6 alkyl, heterocyclic group, aldehyde group, carboxyl, carboxylate, CH=NNHC(O)NH2, CH=NNHC(S)NH2, CH=NOH, CH=NOCH3, CONHRa, COORb, CH=CHRc, CH=NRd and NHRd; Ra = H, C1-6 alkylamino, or residue group of amino acids; Rb = hexasaccharides, pentasaccharides, disaccharides, or acyclic saccharides group; Rc = aryl or heterocyclic group; Rd = C1-6 alkyl or C1-6 alkylamino; R2 = H, C1-6 alkyl, and aryl-substituted C1-6 alkyl; X = organic or inorg. acid group; R3 = H, aldehyde group, CH(OH)SO3Na, CH=NNHC(O)NH2, CH=NNHC(S)NH2, CONHRa, COORb, CH=CHRc, and CH=NRd; R7 = H, hydroxy, C1-15 alkoxy, aryl-substituted C1-6 alkyl, COCH2CONHRa, and COCH2COORb; R9 = C1-6 alkyl, hydroxyl-substituted C1-6 alkyl, and aryl-substituted C1-6 alkyl). The claimed compds. are prepared from multiple routes. The obtained compds. can be applied as antitumor agent.

IT 1160060-08-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of Harmine derivs. and application as antitumor agents)

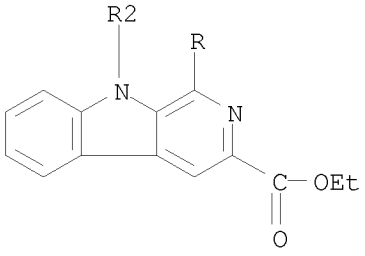
RN 1160060-08-1 CAPLUS

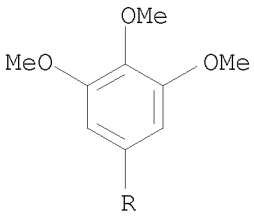
CN 9H-Pyrido[3,4-b]indole-3-carboxaldehyde, 9-(phenylmethyl)- (CA INDEX NAME)



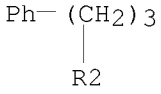
L16 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:230997 CAPLUS
DOCUMENT NUMBER: 150:448147
TITLE: Synthesis and in vitro cytotoxic evaluation of novel 3,4,5-trimethoxyphenyl substituted β-carboline derivatives
AUTHOR(S): Wu, Qifeng; Cao, Rihui; Feng, Manxiu; Guan, Xiangdong; Ma, Chunming; Liu, Jinbing; Song, Huacan; Peng, Wenlie
CORPORATE SOURCE: School of Chemistry and Chemical Engineering, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2009), 44(2), 533-540
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:448147
AB To elucidate further our SARs' study on the chemical and cytotoxic activity and probe the structural requirement for the potent antitumor activity of β-carbolines, a series of novel 1,9-disubstituted and 1,3,9-trisubstituted β-carboline derivs. were designed and synthesized from the starting material L-tryptophan and 3,4,5-trimethoxybenzaldehyde. Cytotoxic activities of these compds. in vitro were investigated, and the SARs associated with position-1, 3 and 9 substituents in β-carbolines have also been discussed. It has been observed that these compds. only displayed moderate to weak cytotoxic activities. Interestingly, most of the investigated compds. displayed selectively cytotoxic activities to human BCG-823 cell lines with IC50 value lower than 100 μM. In addition, the short alkyl substituents in position-9 increased the cytotoxic activities with the tendency of Bu > Et > Me. These data confirmed that (1) an alkyl substituent at position-9 of β-carboline nucleus plays an important role in determining their antitumor activities; (2) different β-carbolines bearing various substituents in β-carboline nucleus interacted selectively with specific targets leading to the difference of biochem. and pharmacol. effects.
IT 1015792-23-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antitumor activity of trimethoxyphenyl β-carboline derivs.)
RN 1015792-23-0 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(3-phenylpropyl)-1-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

PAGE 1-A





PAGE 2-A



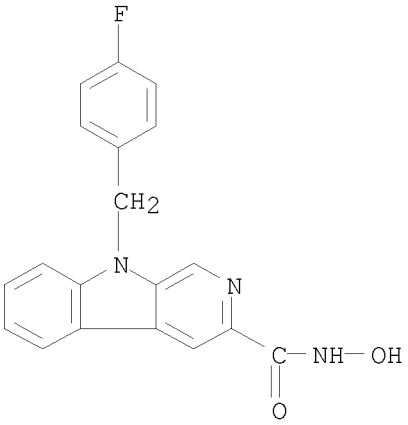
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1311767 CAPLUS
DOCUMENT NUMBER: 150:51183
TITLE: Resistance mutations in human immunodeficiency virus type 1 integrase selected with elvitegravir confer reduced susceptibility to a wide range of integrase inhibitors
AUTHOR(S): Goethals, Olivia; Clayton, Reginald; Van Ginderen, Marcia; Vereycken, Inge; Wagemans, Elisabeth; Geluykens, Peggy; Dockx, Koen; Strijbos, Rudy; Smits, Veerle; Vos, Ann; Meersseman, Geert; Jochmans, Dirk; Vermeire, Kurt; Schols, Dominique; Hallenberger, Sabine; Hertogs, Kurt
CORPORATE SOURCE: Tibotec BVBA, Mechelen, Belg.
SOURCE: Journal of Virology (2008), 82(21), 10366-10374
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Integration of viral DNA into the host chromosome is an essential step in the life cycle of retroviruses and is facilitated by the viral integrase

enzyme. The first generation of integrase inhibitors recently approved or currently in late-stage clin. trials shows great promise for the treatment of human immunodeficiency virus (HIV) infection, but virus is expected to develop resistance to these drugs. Therefore, we used a novel resistance selection protocol to follow the emergence of resistant HIV in the presence of the integrase inhibitor elvitegravir (GS-9137). We find the primary resistance-conferring mutations to be Q148R, E92Q, and T66I and demonstrate that they confer a reduction in susceptibility not only to elvitegravir but also to raltegravir (MK-0518) and other integrase inhibitors. The locations of the mutations are highlighted in the catalytic sites of integrase, and we correlate the mutations with expected drug-protein contacts. In addition, mutations that do not confer reduced susceptibility when present alone (H114Y, L74M, R20K, A128T, E138K, and S230R) are also discussed in relation to their position in the catalytic core domain and their proximity to known structural features of integrase. These data broaden the understanding of antiviral resistance against integrase inhibitors and may give insight facilitating the discovery of second-generation compds.

IT 737817-47-9
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(resistance mutations in human immunodeficiency virus type 1 integrase selected with elvitegravir confer reduced susceptibility to a wide range of integrase inhibitors)

RN 737817-47-9 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-[(4-fluorophenyl)methyl]-N-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:330451 CAPLUS
DOCUMENT NUMBER: 148:403402
TITLE: Preparation of harmine derivatives as antitumor agents
INVENTOR(S): Wu, Jialin; Cao, Rihui; Yu, Fusheng; Wang, Zihou; Peng, Wenlie

PATENT ASSIGNEE(S): Xinjiang Huashidan Pharmaceutical Research Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 29pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101139347	A	20080312	CN 2007-10181898	20071015

PRIORITY APPLN. INFO.: CN 2007-10181898 20071015
OTHER SOURCE(S): CASREACT 148:403402; MARPAT 148:403402
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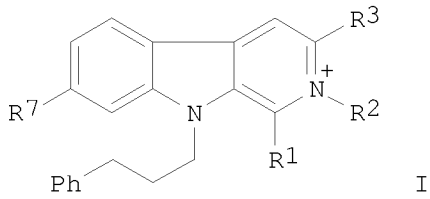

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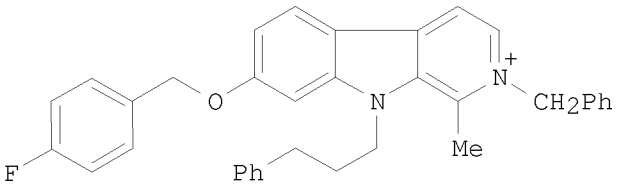
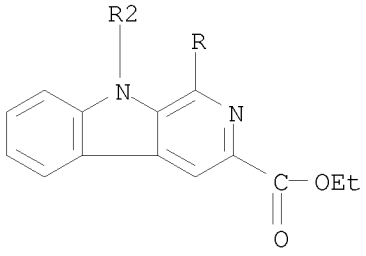
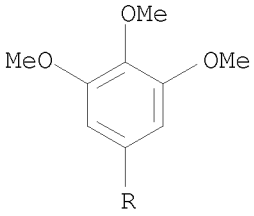


II

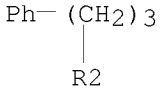
AB The title harmine derivs. I•X [wherein R1 and R2 = independently H or (aryl)alkyl; X = pharmaceutically acceptable acid moiety; or both R2 and X are absence; R3 = H or carboxylate; R7 = H, OH, or (aryl)alkoxy; or salts with pharmaceutically acceptable acids when X and R2 are absence] were prepared as antitumor agents. For example, II•Br- was prepared in a multi-step synthesis. II•Br- showed antitumor activity with IC50 < 1.3 μM against Hela cervical carcinoma.
IT 1015792-23-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of harmine derivs. as antitumor agents)
RN 1015792-23-0 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(3-phenylpropyl)-1-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

PAGE 1-A





PAGE 2-A



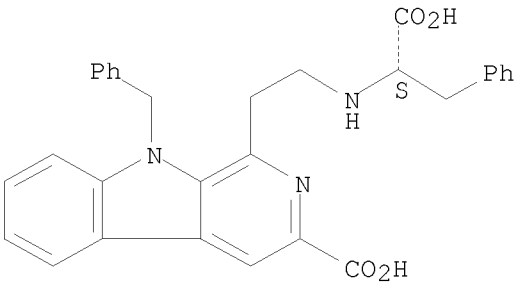
L16 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1292251 CAPLUS
DOCUMENT NUMBER: 149:143301
TITLE: Novel N-(3-carboxyl-9-benzylcarboline-1-yl)ethylamino acids: synthesis, anti-proliferation activity and two-step-course of intercalation with calf thymus DNA
AUTHOR(S): Wu, Jianhui; Cui, Guohui; Zhao, Ming; Cui, Chunying; Peng, Shiqi
CORPORATE SOURCE: College of Pharmaceutical Sciences, Peking University, Beijing, 100083, Peop. Rep. China
SOURCE: Molecular BioSystems (2007), 3(12), 855-861
CODEN: MBOIBW; ISSN: 1742-206X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:143301
AB To explore the intercalating mechanism of β-carbolines, four novel N-(3-carboxyl-9-benzylcarboline-1-yl)ethylamino acids [-phenylalanine (6a), -alanine (6b), -isoleucine (6c) and -glycine (6d)] were prepared here. Their in vitro anticancer activities were examined by their anti-proliferation for 5 human carcinoma cell lines. The average IC50s against 5 human carcinoma cell lines are 53.54 μM, 118.77 μM, 147.34 μM and greater than 291.63 μM for 6a, 6b, 6c and 6d, resp. The DNA intercalating mechanism of 6a-d was approved by the comparison of the parameters and signals of UV, CD and fluorescence spectra of calf thymus

DNA (CT DNA) alone and the CT DNA/6a-d system. Using fluorescence titration based kinetic anal. a two-step-course consisting of stacking and intercalating was described and the stacking was considered as the key step to the CT DNA intercalating mechanism of 6a-d. Using fluorescence titration based thermomech. anal., the stacking complexes of 6a-d with CT DNA were described to be formed spontaneously and to be stabilized predominantly by their hydrophobic interactions. The intercalation itself goes very fast and only has limited contribution to their anticancer activities.

IT 1037673-68-9P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-(3-carboxyl-9-benzylcarboline-1-yl)ethylamino acids, their anti-proliferative activity and two-step-course of intercalation with calf thymus DNA)

RN 1037673-68-9 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-[2-[[(1S)-1-carboxy-2-phenylethyl]amino]ethyl]-9-(phenylmethyl)- (CA INDEX NAME)

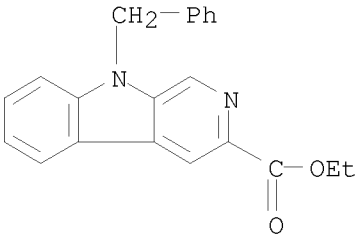
Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:1083219 CAPLUS
DOCUMENT NUMBER: 146:38430
TITLE: Design of β-carboline derivatives as DNA-targeting antitumor agents
AUTHOR(S): Guan, Huaji; Chen, Hongsheng; Peng, Wenlie; Ma, Yan; Cao, Rihui; Liu, Xiaodong; Xu, Anlong
CORPORATE SOURCE: State Key Laboratory of Biocontrol, Guangdong Key Laboratory of Therapeutic Functional Genes, Department of Biochemistry, College of Life Sciences, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2006), 41(10), 1167-1179
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal

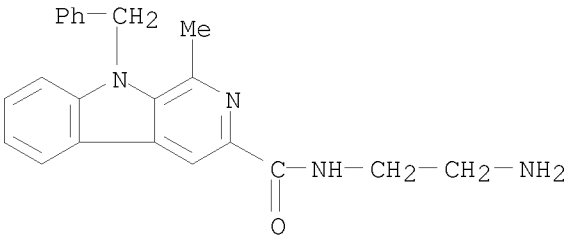
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:38430
AB This research studied the structure-activity relationship of β-carboline derivs. as antitumor agents, in which 41 synthesized compds. and their cytotoxicity to tumor and normal cell lines were assayed. It was proved that substituent in position-9 of the β-carboline ring could reinforce the DNA intercalating ability and consequently cytotoxicity to tumor cell lines, and the amidation of amino group at the end of the DNA targeting side chain in position-3 could cripple the DNA intercalating activity of these compds., which resultingly initiated the cytotoxic selectivity to tumor cell lines rather than to normal ones. Furthermore, the S and G2-M arrest induced by these compds. confirmed that they could target DNA and lead to DNA destructions in Hela cells. In short, this study may provide a framework to design a novel antitumor drug that could surpass Adriamycin.
IT 95202-52-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Design of β-carboline derivs. as DNA-targeting antitumor agents)
RN 95202-52-1 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:206057 CAPLUS
DOCUMENT NUMBER: 144:428015
TITLE: β-carboline derivatives: Novel photosensitizers that intercalate into DNA to cause direct DNA damage in photodynamic therapy
AUTHOR(S): Guan, Huaji; Liu, Xiaodong; Peng, Wenlie; Cao, Rihui; Ma, Yan; Chen, Hongsheng; Xu, Anlong
CORPORATE SOURCE: State Key Laboratory of Biocontrol, Guangdong Key Laboratory of Therapeutic Functional Genes, Department of Biochemistry, College of Life Sciences, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: Biochemical and Biophysical Research Communications (2006), 342(3), 894-901
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Novel 1,3,9-trisubstituted β-carboline derivs. were found to exhibit DNA photocleavage properties under visible light irradiation in a cell-free system, which could be reduced by antioxidant vitamin E. Their photo-cytotoxicity to human tumor cell line HeLa was confirmed, in which apoptosis only contributed a small part to the cell death, and necrosis was the dominating outcome of HeLa cells in photodynamic therapy (PDT) using β-carboline derivs. Different from other clin. PDT drugs, β-carboline derivs. were demonstrated to be able to distribute in the nucleus and intercalate into DNA, and consequently cause direct DNA damage by photochem. reaction products in PDT, which was proved by the distinct DNA tails in the comet assay and the considerable amount of DNA damaged cells quantified by flow cytometry. This mechanism could be the explanation for the delay of cell proliferation at DNA synthesis and mitosis.
IT 885314-28-3
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-carboline derivs. photosensitizers intercalating into DNA to cause direct DNA damage in PDT)
RN 885314-28-3 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxamide, N-(2-aminoethyl)-1-methyl-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

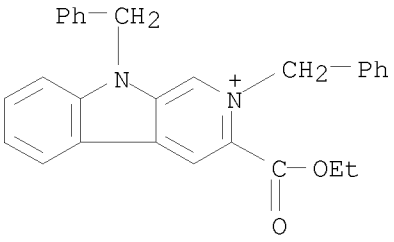
L16 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1084377 CAPLUS
DOCUMENT NUMBER: 144:6950
TITLE: Design, synthesis and in vitro and in vivo antitumor activities of novel β-carboline derivatives
AUTHOR(S): Cao, R.; Chen, H.; Peng, W.; Ma, Y.; Hou, X.; Guan, H.; Liu, X.; Xu, A.
CORPORATE SOURCE: Department of Biochemistry and Center for Biopharmaceutical Research, College of Life Sciences, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2005), 40(10), 991-1001
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:6950

AB To further a SAR study on the chemical and antitumor activity/neurotoxicity of β-carboline alkaloids, several series of β-carboline derivs. with various substituents were designed and synthesized from the starting material L-tryptophan on the basis of harmine chemical structure. Cytotoxic activities of these compds. were investigated in vitro. The results showed that some β-carboline derivs. had significant cytotoxic activities against human tumor cell lines. Among all the synthesized β-carboline derivs., the compds., having a benzyl substituent at both position-2 and 9, resp., were found to be the most potent compds. with IC50 value lower than 50 μM against all human tumor cell lines examined Acute toxicities and antitumor activities of the selected β-carboline derivs. in mice were also evaluated. The results demonstrated that a benzyl substituent at position-2 increased the antitumor activity as well as acute toxicity significantly. However an (ethoxycarbonyl)amino substituent at position-3 reduced the acute toxicity as well as antitumor activity remarkedly. These data suggested that (1) the antitumor potencies of β-carboline derivs. were enhanced by the introduction of benzyl substituent into the position-2; (2) the acute toxicity of β-carboline derivs. reduced dramatically by the introduction of an appropriate substituent into the position-3 and 9; (3) the β-carboline structure might be an important basis for the design and synthesis of new antitumor drugs with significant antitumor activity and low toxicity.

IT 799821-97-9P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design, synthesis and in vitro and in vivo antitumor activities of novel β-carboline derivs.)

RN 799821-97-9 CAPLUS
CN 9H-Pyrido[3,4-b]indolium, 3-(ethoxycarbonyl)-2,9-bis(phenylmethyl)-, bromide (1:1) (CA INDEX NAME)



● Br^-

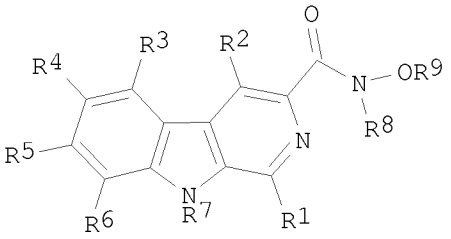
OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:672885 CAPLUS
DOCUMENT NUMBER: 143:172853
TITLE: Preparation of β-carbolinehydroxamates as HIV integrase inhibitors
INVENTOR(S): Kuki, Atsuo; Li, Xinqiang; Plewe, Michael Bruno; Wang, Hai; Zhang, Junhu
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050165040	A1	20050728	US 2004-765227	20040126
US 7001912	B2	20060221		
US 20060122211	A1	20060608	US 2005-251344	20051014
US 7138408	B2	20061121		

PRIORITY APPLN. INFO.: US 2003-443223P P 20030127
US 2004-765227 A3 20040126
OTHER SOURCE(S): CASREACT 143:172853; MARPAT 143:172853
GI

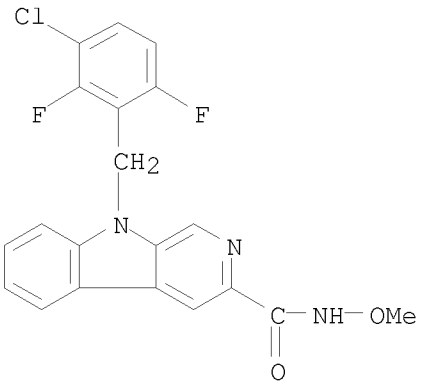


I

AB Title compds. [I; R1-R6 = H, halo, alkyl, alkoxyalkyl, alkenyl, alkynyl, NO2, ORc, N(Rc)2; Rc = H, alkyl, alkenyl, alkynyl; R7 = (substituted) alkyl, alkenyl, alkynyl; R8, R9 = H, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, Et 9H-β-carboline-3-carboxylate in DMF was treated with NaH and 4-fluorobenzyl bromide followed by stirring for 24 h. The resulting residue was stirred 5 days with NH2OH in MeOH/H2O to give 39% 9-(4-fluorobenzyl)-N-hydroxy-9H-β-carboline-3-carboxamide. The latter in an integrase strand-transfer scintillation proximity assay showed IC50 = 0.234 μM.

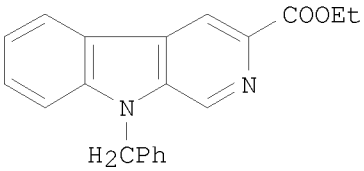
IT 737817-45-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of β-carbolinehydroxamates as HIV integrase inhibitors)

RN 737817-45-7 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-[(3-chloro-2,6-difluorophenyl)methyl]-N-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:239978 CAPLUS
DOCUMENT NUMBER: 142:456253
TITLE: Antitumor and neurotoxic effects of novel harmine derivatives and structure-activity relationship analysis
AUTHOR(S): Chen, Qi; Chao, Rihui; Chen, Hongsheng; Hou, Xuerui; Yan, Huifang; Zhou, Shufeng; Peng, Wenlie; Xu, Anlong
CORPORATE SOURCE: Department of Biochemistry and Center for Biopharmaceutical Research, School of Life Sciences, Sun Yat-sen University, Guangzhou, 510275, Peop. Rep. China
SOURCE: International Journal of Cancer (2005), 114(5), 675-682
CODEN: IJCNAW; ISSN: 0020-7136
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

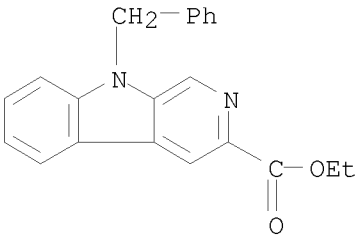
AB Beta-carboline alkaloids such as harmine are present in medicinal plants such as Peganum harmala that have been used as folk medicine in anticancer therapy. In our study, 9 harmine derivs. (including harmine) were investigated for their antitumor effects and acute toxicities in mice, and the structure-activity relationship (SAR) was also analyzed. Administration of these compds. resulted in tumor inhibition rates of 15.3-49.5% in mice bearing Lewis Lung Cancer, sarcoma180 or HepA tumor.

Acute toxicity studies showed that all these compds. except two caused remarkable acute neurotoxicities manifested by tremble, twitch and jumping. SAR anal. indicated that the formate substitution at R3 of the tricyclic skeleton reduced their neurotoxicity, while the short alkyl or aryl substitution at R9 increased the antitumor activity. The harmine and its derivs. resulted in in vitro cytotoxicity (IC50) values of 0.011-0.021 μmol/mL in HepG2 cells. Several compds. induced apoptosis in HepG2 cells, with the highest apoptotic rate being 55.34%. Several compds. upregulated the expression of death receptor Fas by approx. 50-120%. The authors found that compds. with both substitutions at R3 and R9, (I) have high antitumor activity and low toxicity. Such compds. might be chosen as lead mols. for further development. Further studies on the effects of harmine derivs. on key regulators for tumor cell apoptosis are needed.

IT 95202-52-1P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor and neurotoxic effects of novel harmine derivs. and structure-activity relationship anal.)

RN 95202-52-1 CAPLUS

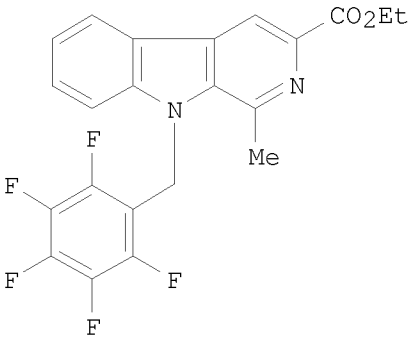
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:169163 CAPLUS
DOCUMENT NUMBER: 142:430087
TITLE: Synthesis and in vitro cytotoxic evaluation of 1,3-disubstituted and 1,3,9-trisubstituted β-carboline derivatives
AUTHOR(S): Cao, Rihui; Peng, Wenlie; Chen, Hongsheng; Hou, Xuerui; Guan, Huaji; Chen, Qi; Ma, Yan; Xu, Anlong
CORPORATE SOURCE: Department of Biochemistry, Center for Biopharmaceutical Research, College of Life Sciences, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: European Journal of Medicinal Chemistry (2005), 40(3), 249-257
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:430087
GI



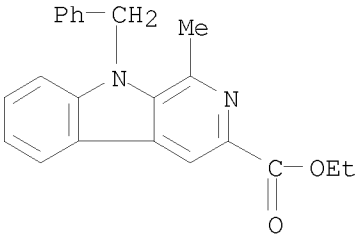
I

AB A series of novel 1,3-disubstituted and 1,3,9-trisubstituted β-carbolines was synthesized from L-tryptophan. Cytotoxic activities of these compds. were investigated in vitro. The results showed that 1,3,9-trisubstituted β-carbolines had higher cytotoxic activities in vitro than the corresponding 1,3-disubstituted compds. The 1,3,9-trisubstituted β-carbolines with a Me substituent at position 1 displayed more potent cytotoxic activities, I being the most potent compds. of this series with IC50 4 uM against BGC-823 cell lines. These data suggested that (1) the cytotoxic potencies of β-carbolines were enhanced by the introduction of appropriate substituents into position 1 and position 9 in β-carboline; (2) the β-carboline structure might be an important basis for the design and synthesis of new antitumor drugs; (3) the Me substituent at position 1, the pentafluorobenzyl group at position 9 and the ethoxycarbonyl substituent at position 3 were the optimal combination for the improvement of cytotoxic activity of the β-carboline derivs.

IT 142272-78-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and in vitro cytotoxic evaluation of 1,3-di- and 1,3,9-trisubstituted β-carbolines)

RN 142272-78-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-methyl-9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS

RECORD (18 CITINGS)
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1059352 CAPLUS
DOCUMENT NUMBER: 142:23411
TITLE: Preparation of harmine derivatives as antitumor agents
INVENTOR(S): Wu, Jialin; Chen, Qi; Cao, Rihui; Yu, Fusheng; Wang, Zihou; Peng, Wenlie
PATENT ASSIGNEE(S): Xinjiang Huashidan Pharmaceutical Research Co., Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106335	A1	20041209	WO 2004-CN591	20040602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1552711	A	20041208	CN 2003-136406	20030602
CN 100503607	C	20090624		
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JP 2006526580	T	20061124	JP 2006-508098	20040602
US 20090227619	A1	20090910	US 2006-559824	20060424

PRIORITY APPLN. INFO.: CN 2003-136406 A 20030602
WO 2004-CN591 W 20040602
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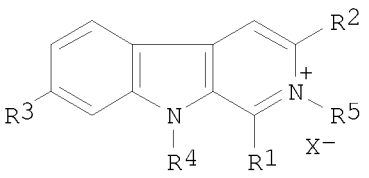
OTHER SOURCE(S): CASREACT 142:23411; MARPAT 142:23411
GI



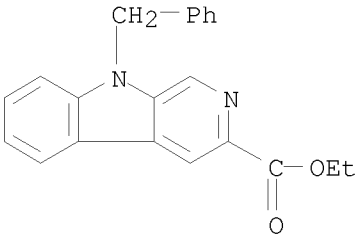
I

AB Harmine derivs. e.g. I (R1 = H, alkyl, aralkyl, haloaralkyl, etc.; R2 = H, carboxyl, amino, etc.; R3 = H, OH, alkoxy, etc.; R4 = H, alkyl, hydroxyalkyl, amino, etc.; R5 = H, alkyl, aralkyl, alkenyl, etc.; X = Br, iodo) are prepared The present invention produces new harmine derivs. with enhanced antitumor activity and lower nervous system toxicity by structural modification of the parent structure of β-carboline of harmines at position 1, 2, 3, 7 and 9. The compds. of the present invention can be prepared easily with high yield. They can be used in manufacture of a variety of antitumor medicines and medicines used in treatment of tumor diseases in combination of light or radiation therapy. Thus, 7-methoxy-9-ethyl-1-methyl-β-carboline was prepared and showed antitumor activity superior to that of harmine.

IT 95202-52-1P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of harmine derivs. as antitumor agents)

RN 95202-52-1 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:648524 CAPLUS
DOCUMENT NUMBER: 141:207055
TITLE: Preparation of β-carboline hydroxamic acids as HIV-integrase inhibitors
INVENTOR(S): Kuki, Atsuo; Li, Xinqiang; Plewe, Michael Bruno; Wang, Hai; Zhang, Junhu
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067531	A1	20040812	WO 2004-IB259	20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI

CA 2513141	A1	20040812	CA 2004-2513141		20040123
EP 1590349	A1	20051102	EP 2004-704681		20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007052	A	20060117	BR 2004-7052		20040123
JP 2006516606	T	20060706	JP 2006-502388		20040123
MX 2005007563	A	20050921	MX 2005-7563		20050714
PRIORITY APPLN. INFO.:			US 2003-443223P	P	20030127
			WO 2004-IB259	W	20040123

OTHER SOURCE(S): MARPAT 141:207055
GI

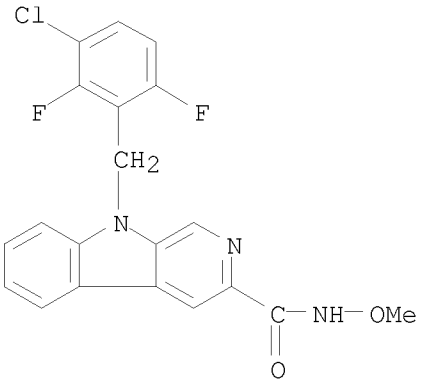
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Beta-carboline hydroxamic acid compds. Title compds. I and II [wherein R1, R2, R3, R4, R5, R6 = independently H, halo, alkoxy/alkyl, alkenyl, alkynyl, OH and derivs., NO2, NH2 and derivs.; R7 = (un)substituted alk(en/yn)yl; R8, R9 = independently H, (un)substituted alk(en/yn)yl; X = (CR10R11)n; R10, R11 = independently H, halo, OH and derivs., NH and derivs., (un)substituted lower alk(en/yn)yl; n = 1-3; their pharmaceutically acceptable salts and solvates] were prepared as inhibitors or modulators the activity of HIV-integrase enzyme. Examples include 13 synthetic prepns., bioassays for HIV-integrase activity and HIV-1 cell protection. For example, III was prepared, in 39% yield, from Et 9H-3-carboline-3-carboxylate, 4-fluorobenzyl bromide and NH2OH. Selected I and II displayed IC50 values in the range of 0.234 - 0.713 μM for the inhibition of HIV-integrase. Thus, I and II are useful for treating HIV-integrase-mediated diseases and conditions (no data).

IT 737817-45-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(HIV-inhibitor; preparation of β-carboline hydroxamic acids as HIV-integrase inhibitors)

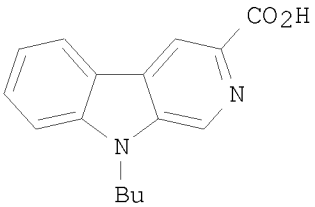
RN 737817-45-7 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-[(3-chloro-2,6-difluorophenyl)methyl]-N-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:627176 CAPLUS
DOCUMENT NUMBER: 141:243713
TITLE: Synthesis, acute toxicities, and antitumor effects of novel 9-substituted β-carboline derivatives
AUTHOR(S): Cao, Rihui; Chen, Qi; Hou, Xuerui; Chen, Hongsheng; Guan, Huaji; Ma, Yan; Peng, Wenlie; Xu, Anlong
CORPORATE SOURCE: Department of Biochemistry and Center for Biopharmaceutical Research, College of Life Sciences, Sun Yat-sen (Zhongshan) University, Guangzhou, 510275, Peop. Rep. China
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(17), 4613-4623
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:243713
GI



I

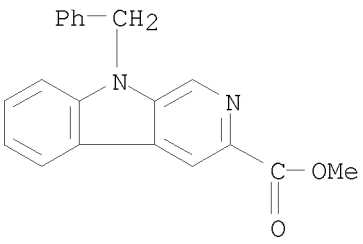
AB A series of 9-substituted β-carbolines, e.g., I, was synthesized from harmine and β-carboline derivs., resp. Cytotoxic activities of these compds. in vitro were investigated. The results showed that most compds. of the 9-substituted β-carboline derivs. had more remarkable cytotoxic activities in vitro than their corresponding parent compds.

Acute toxicities and antitumor effects of some selected β-carboline derivs., in mice, were also examined The results demonstrated that a short alkyl or benzyl substituent at position-9 increased the antitumor activities significantly and a ethoxycarbonyl or carboxyl substituent at position-3 reduced the acute toxicity and neurotoxicity of these β-carboline derivs. dramatically. Moreover, the compds. both with an alkoxycarbonyl or carboxyl substituent at position-3 and a short alkyl or benzyl substituent at position-9 exhibited more significant antitumor activities and lower acute toxicities and neurotoxicities than the other compds. I having an Bu and a carboxyl substituent at position-9 and 3, resp., was found to have the highest antitumor effect and the lowest acute toxicity and neurotoxicity. These data suggested that appropriate substituents at both position-9 and 3 of β-carboline derivs. might play a crucial role in determining their enhanced antitumor activities and decreased acute toxicities and neurotoxic effects. Furthermore, the β-carboline derivs. have the potential to be used as antitumor drug leads.

IT 752213-39-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of N-alkylated carboline hydrochlorides via N-alkylation of carbolines with alkyl halides followed by salt formation)

RN 752213-39-1 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:401649 CAPLUS

DOCUMENT NUMBER: 133:43450

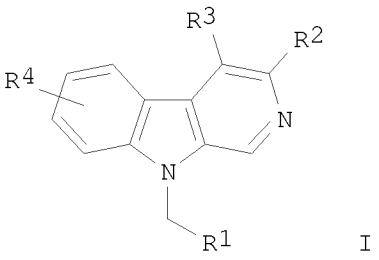
TITLE: Preparation of β-carbolines as non-peptide antagonists of GLP-1 receptor

INVENTOR(S): Truesdale, Larry Kenneth; Bychowski, Richard A.; Gonzalez, Javier; Kuki, Atsuo; Rajapakse, Ranjan Jagath; Teng, Min; Kiel, Dan; Dhanoa, Daljit S.; Hong, Yufeng; Chou, Tso-Sheng; Ling, Anthony L.; Johnson, Michael David; Gregor, Vlad Edward

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033839	A1	20000615	WO 1999-US29065	19991208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2350887	A1	20000615	CA 1999-2350887	19991208
EP 1137413	A2	20011004	EP 1999-960663	19991208
EP 1137413	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916965	A	20011106	BR 1999-16965	19991208
AU 758968	B2	20030403	AU 2000-17518	19991208
NZ 511698	A	20030926	NZ 1999-511698	19991208
AT 288268	T	20050215	AT 1999-960663	19991208
PT 1137413	E	20050531	PT 1999-960663	19991208
ES 2233089	T3	20050601	ES 1999-960663	19991208
ZA 2001004128	A	20020521	ZA 2001-4128	20010521
MX 2001005846	A	20020311	MX 2001-5846	20010608
US 6469021	B1	20021022	US 2001-831572	20011026

PRIORITY APPLN. INFO.: US 1998-111736P P 19981210
WO 1999-US29065 W 19991208
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:43450
GI



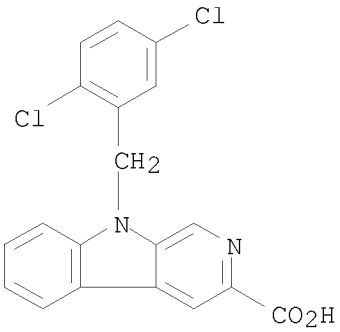
I

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl; R2 = COH, CO2H, CO2alkoxy, etc.; R3 = H, alkyl, alkenyl, etc.; R2 and R3 together with the atoms to which they are bound form (un)substituted 5-6 membered ring containing one or two heteroatoms selected from O, N, and S; R4 = H, NH2, halo, etc.], non-peptide compds. that act as antagonists of the intestinal

hormone glucagon-like peptide 1 (GLP-1), and are useful in inhibiting the binding of GLP-1 to the GLP-1 receptor and inhibiting the activation of the GLP-1 receptor, were prepared and formulated. Thus, treatment of Me 9H-β-carboline-3-carboxylate (preparation given) with NaH in DMF followed by addition of 2,5-dichlorobenzyl chloride afforded 88% I [R1 = 2,5-Cl2C6H3; R2 = CO2Me; R3 = R4 = H]. The compds. I exhibit advantageous phys., chemical and biol. properties and inhibit GLP-1 peptide binding to the GLP-1 receptor and/or prevent activation of the receptor by bound GLP-1.

IT 274919-18-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of β-carbolines as non-peptide antagonists of GLP-1 receptor)

RN 274919-18-5 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-[(2,5-dichlorophenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:50046 CAPLUS
DOCUMENT NUMBER: 128:114560
ORIGINAL REFERENCE NO.: 128:22461a,22464a
TITLE: o-Nitrobenzyl as a photocleavable nitrogen protecting group for indoles, benzimidazole, and 6-chlorouracil
AUTHOR(S): Voelker, Troy; Ewell, Tim; Joo, Jean; Edstrom, Eric D.
CORPORATE SOURCE: Department of Chemistry, University of Montana, Missoula, MT, 59812, USA
SOURCE: Tetrahedron Letters (1998), 39(5/6), 359-362
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:114560

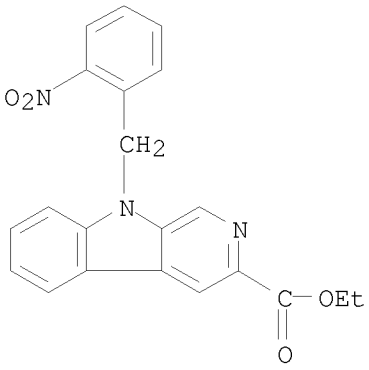
AB The potential for the o-nitrobenzyl group as an alternative nitrogen protecting group for various indoles, benzimidazole, and 6-chlorouracil was determined Treatment of the appropriate N-H containing substrate with LiH or

NaH in DMF followed by o-nitrobenzyl bromide afforded reasonable yields of N-alkylated products. To effect removal of this group, simple photolysis with 300 nm light afforded good yields of starting substrate.

IT 201805-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nitrobenzyl as photolyzable protective group for indole, benzimidazole and chlorouracil derivs.)

RN 201805-78-9 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-[(2-nitrophenyl)methyl]-, ethyl ester (CA INDEX NAME)

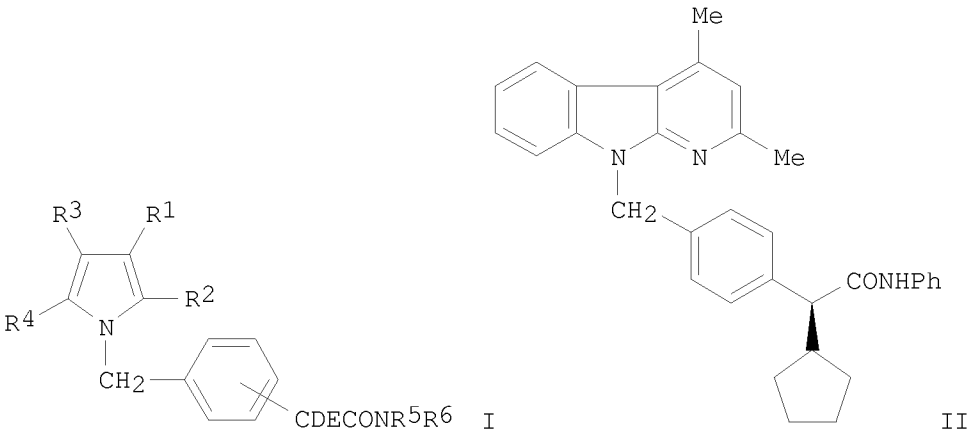


OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:702702 CAPLUS
DOCUMENT NUMBER: 127:358850
ORIGINAL REFERENCE NO.: 127:70251a,70254a
TITLE: Preparation of pyrrolylmethylphenylacetic acid amides as antiatherosclerotic agents
INVENTOR(S): Eckenberg, Peter; Mueller, Ulrich; Gruetzmann, Rudi; Bischoff, Hilmar; Denzer, Dirk; Nielsch, Ulrich
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19615119	A1	19971023	DE 1996-19615119	19960417
EP 802197	A1	19971022	EP 1997-105595	19970404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6194424	B1	20010227	US 1997-833828	19970410
CA 2202563	A1	19971017	CA 1997-2202563	19970414

JP 10036349 A 19980210 JP 1997-110047 19970414
PRIORITY APPLN. INFO.: DE 1996-19615119 A 19960417
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 127:358850; MARPAT 127:358850
GI

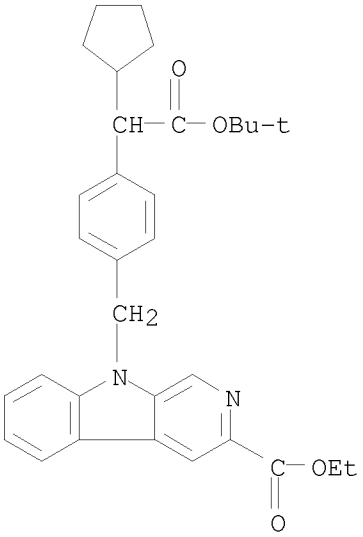


AB Title compds. I [R1R2 = atoms required to complete an (un)substituted pyridine or benzene ring; R3R4 = atoms required to complete and (un)substituted benzene, cycloalkene, oxacycloalkene ring; D, E = H, cycloalkyl, alkyl, cycloalkylalkyl, Ph, halophenyl, trfifluoromethylphenyl; DE = atoms required to complete a carbocyclic ring; R5 = H, alkyl, cycloalkyl; R6 = (un)substituted alkyl, cycloalkyl, Ph; NR5R6 = heterocyclic] were prepared for use in treatment of atherosclerosis and as inhibitors of ApoB-100-associated lipoprotein formation and release (no data). Thus, the amide II was prepared from the carboline and the menthyl bromomethylphenyl(cyclopentyl)acetate which was obtained from l-menthol and 4-MeC6H4CH2CO2H in 3 steps. II had an IC50 for inhibition of the release of Apo-100-associated lipoproteins from liver cells in vitro of 8.2 nM.

IT 177278-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolylmethylphenylacetamides as antiatherosclerotic agents)

RN 177278-37-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-[[4-[1-cyclopentyl-2-(1,1-dimethylethoxy)-2-oxoethyl]phenyl]methyl]-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L16 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:537321 CAPLUS
DOCUMENT NUMBER: 125:195628
ORIGINAL REFERENCE NO.: 125:36643a
TITLE: New 9H-pyrido[3,4-b]indole derivatives useful as LTB4 antagonists.
INVENTOR(S): Skuballa, Werner; Buchmann, Bernd; Rehwinkel, Hartmut; Schneider, Frank; Froehlich, Wolfgang; Giesen, Claudia; Hennekes, Hartwig
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19502753	A1	19960725	DE 1995-19502753	19950123
CA 2210501	A1	19960801	CA 1996-2210501	19960119
WO 9622989	A1	19960801	WO 1996-EP213	19960119
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 805810	A1	19971112	EP 1996-901309	19960119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 10512579	T	19981202	JP 1996-522605	19960119
US 5880126	A	19990309	US 1997-875090	19971208

PRIORITY APPLN. INFO.: DE 1995-19502753 A 19950123
WO 1996-EP213 W 19960119
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 125:195628; MARPAT 125:195628

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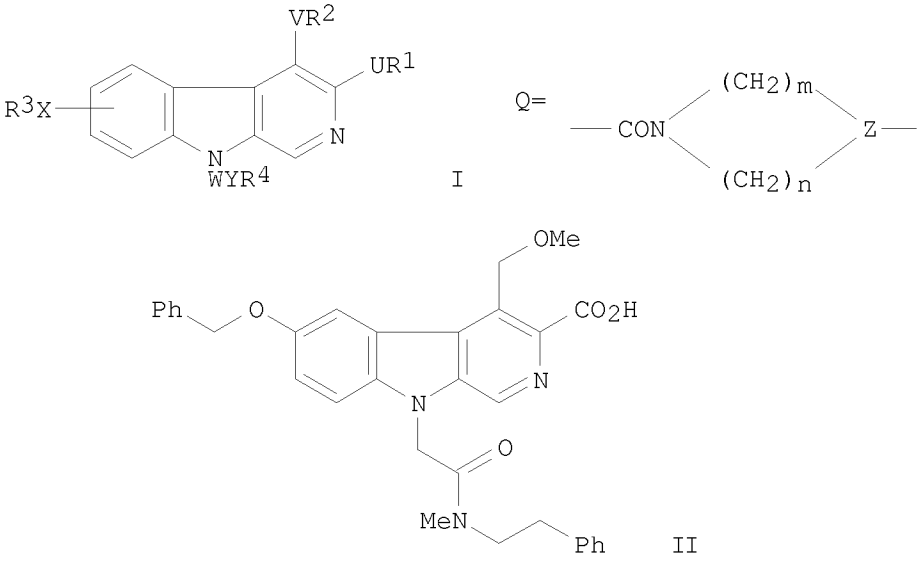
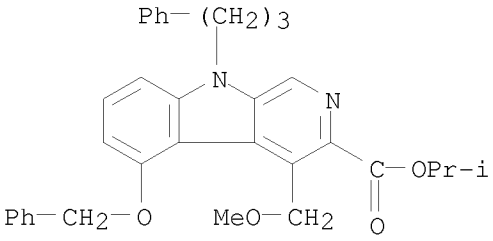


AB Title compds. I [U, V, W = bond, C1-6 alkylene; R1 = H, OH, CO2H; R2 = H, OH, alkoxy, alkanoyloxy, ω-carboxyalkoxy; or R1R2 = oxycarbonyl; X = bond, O; Y = bond, CONR', heterocyclic amide group Q; R' = H, alkyl, carboxyalkyl; (m + n) = 3, 4, 5; Z = CH, N; R3, R4 = (un)substituted Ph, phenylalkyl, or naphthyl] and their physiol. acceptable esters, amides, and salts are disclosed. Surprisingly, I and derivs. show marked leukotriene B4 antagonistic activity (no data), and a completely different activity spectrum from the known 9-unsubstituted analogs, which are psychopharmaceuticals. Thus, I are potentially useful as antiinflammatories, antiallergics, and antiproliferatives. For example, N-alkylation of 6-(benzyloxy)-4-(methoxymethyl)-9H-pyrido[3,4-b]indole-3-carboxylic acid 1-methylethyl ester using BrCH2CONMeCH2CH2Ph and NaH in THF, followed by saponification with NaOH in aqueous MeOH, gave title compound II.

IT 180512-91-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridoindole derivs. as LTB4 antagonists)

RN 180512-91-8 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 4-(methoxymethyl)-5-(phenylmethoxy)-9-(3-phenylpropyl)-, 1-methylethyl ester (CA INDEX NAME)



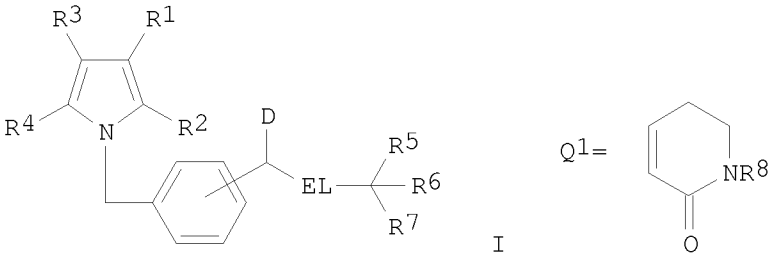
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L16 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:337930 CAPLUS
DOCUMENT NUMBER: 125:58487
ORIGINAL REFERENCE NO.: 125:11245a,11248a
TITLE: Preparation of cycloalkanoindole and -azaindole derivatives as inhibitors of ApoB-100 associated lipoprotein production and/or release.
INVENTOR(S): Mueller, Ulrich; Connell, Richard; Goldmann, Siegfried; Gruetzmann, Rudi; Beuck, Martin; Bischoff, Hilmar; Denzer, Dirk; Domdey-Bette, Anke; Wohlfeil, Stefan
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 114 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 705831	A2	19960410	EP 1995-114877	19950921
EP 705831	A3	19970122		
EP 705831	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4435477	A1	19960411	DE 1994-4435477	19941004
AT 255580	T	20031215	AT 1995-114877	19950921
PT 705831	E	20040430	PT 1995-114877	19950921
ES 2211890	T3	20040716	ES 1995-114877	19950921
AU 9532920	A	19960418	AU 1995-32920	19950927
AU 700609	B2	19990107		
HR 9500505	B1	20020430	HR 1995-505	19950927
US 5684014	A	19971104	US 1995-535698	19950928
CA 2159546	A1	19960405	CA 1995-2159546	19950929
FI 9504681	A	19960405	FI 1995-4681	19951002
IL 115493	A	19991028	IL 1995-115493	19951002
IL 129641	A	20000831	IL 1995-129641	19951002
TW 448175	B	20010801	TW 1995-84110247	19951002
NO 9503930	A	19960409	NO 1995-3930	19951003
NO 305365	B1	19990518		
ZA 9508297	A	19960506	ZA 1995-8297	19951003
HU 73240	A2	19960729	HU 1995-2891	19951003
HU 225052	B1	20060529		

JP 08225526	A	19960903	JP 1995-279664		19951003
JP 3901234	B2	20070404			
RU 2157803	C2	20001020	RU 1995-117070		19951003
EE 3527	B1	20011015	EE 1995-71		19951003
PL 183154	B1	20020531	PL 1995-310756		19951003
CZ 291348	B6	20030212	CZ 1995-2567		19951003
SK 284260	B6	20041201	SK 1995-1239		19951003
CN 1130631	A	19960911	CN 1995-117117		19951004
CN 1050605	C	20000322			
US 6245775	B1	20010612	US 1997-887781		19970703
HK 1005139	A1	20040521	HK 1998-104346		19980519
CN 1224715	A	19990804	CN 1998-126085		19981230
CN 1183111	C	20050105			
US 6265431	B1	20010724	US 1999-313035		19990517
FI 2000002693	A	20001208	FI 2000-2693		20001208
FI 108791	B1	20020328			
US 20020147209	A1	20021010	US 2000-734955		20001211
US 20020055635	A1	20020509	US 2001-814263		20010321
US 6479503	B2	20021112			
US 20030149073	A1	20030807	US 2002-198315		20020718
US 6858622	B2	20050222			
PRIORITY APPLN. INFO.:			DE 1994-4435477	A	19941004
			US 1995-535698	A3	19950928
			IL 1995-115493	A3	19951002
			US 1997-887781	A3	19970703
			US 1999-313035	A3	19990517
			US 2001-814263	A3	20010321

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 125:58487; MARPAT 125:58487
GI



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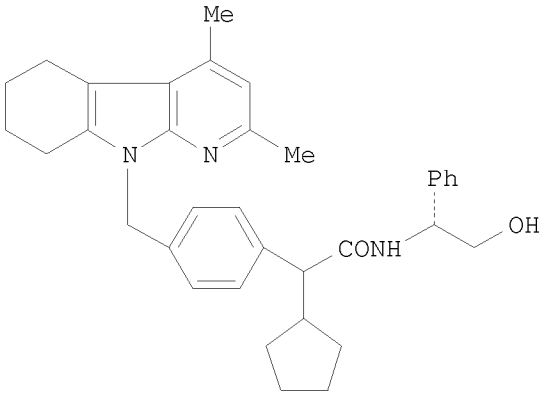


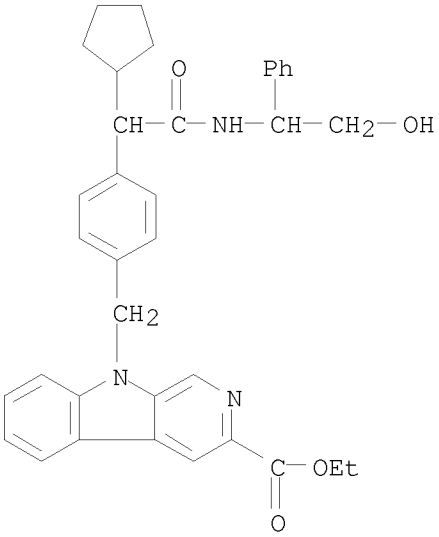
II

AB Title compds. [I; R1R2 = atoms to form a (substituted) pyridyl ring, Ph ring, Q1; R8 = H, alkyl; R3R4 = atoms to form a (substituted) Ph ring, 4-8 membered cycloalkene, oxacycloalkene ring; D = H, alkyl, cycloalkyl; E = CO, CS; L = O, S, NR9; R9 = H, (substituted) alkyl; R5 = (substituted) Ph, 5-7 membered heterocyclyl; R6 = H, CO2H, alkoxycarbonyl, (substituted) alkyl; R7 = H; R6R7 = O], were prepared Thus, title compound (II) (preparation given) inhibited release of ApoB-100 associated lipoproteins from human liver cells with IC50 = 28 + 10-9 M.

IT 177276-87-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkanoindole and -azaindole derivs. as inhibitors of ApoB-100 associated lipoprotein production and/or release)

RN 177276-87-8 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-[[4-[1-cyclopentyl-2-[(2-hydroxy-1-phenylethyl)amino]-2-oxoethyl]phenyl]methyl]-, ethyl ester (CA INDEX NAME)

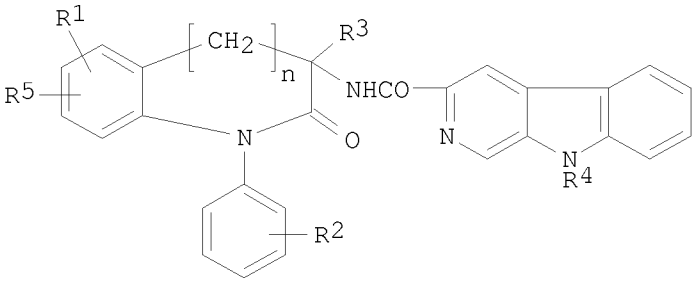


OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (48 CITINGS)

L16 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:795473 CAPLUS
DOCUMENT NUMBER: 123:306611
ORIGINAL REFERENCE NO.: 123:54675a,54678a
TITLE: Cholecystokinin antagonists containing β-carbolines
INVENTOR(S): Yamada, Koichiro; Hikoda, Masakatsu; Yura, Takeshi; Kano, Toshiaki; Nagasaki, Masaaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07145055	A	19950606	JP 1993-296181	19931126

PRIORITY APPLN. INFO.: JP 1993-296181 19931126
OTHER SOURCE(S): MARPAT 123:306611
GI



I

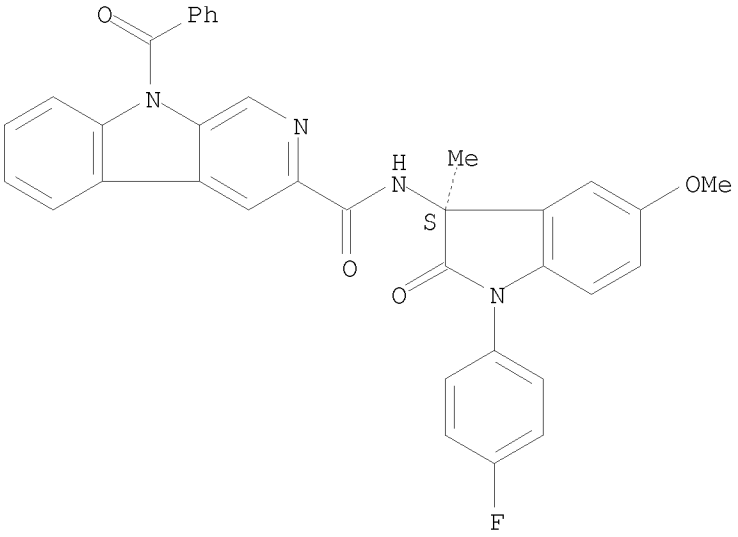
AB Cholecystokinin (CCK) antagonists, useful for prevention and treatment of pancreatic and gastrointestinal disorders and loss of appetite, contain β-carbolines I [R1 = H, lower alkyl, lower alkoxy, OH; R5 = H; R1R5 may form lower alkylenedioxy; R2 = H, halo, lower alkoxy, OH; R3 = H, lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl; R4 = H, lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, lower alkanoyl, arylcarbonyl, lower alkanesulfonyl, lower alkoxycarbonyl, aralkyl, CHO, di(lower alkyl)sulfamoyl; n = 0, 1, 2] and their pharmacol. acceptable salts as active ingredients. (±)-3-[(9H-pyrido[3,4-b]indol-3-yl)carbonylamino]-1-phenyl-2-indolinone inhibited the binding of CCK-8 to the receptors with IC50 of 5 + 10-9M. Preparation procedures of the compds. are given.

IT 154058-37-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of; β-carbolines as cholecystokinin antagonists for prevention and treatment of pancreatic and gastrointestinal disorders)

RN 154058-37-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-benzoyl-N-[1-(4-fluorophenyl)-2,3-dihydro-5-methoxy-3-methyl-2-oxo-1H-indol-3-yl]-, (S)- (9CI) (CA INDEX NAME)

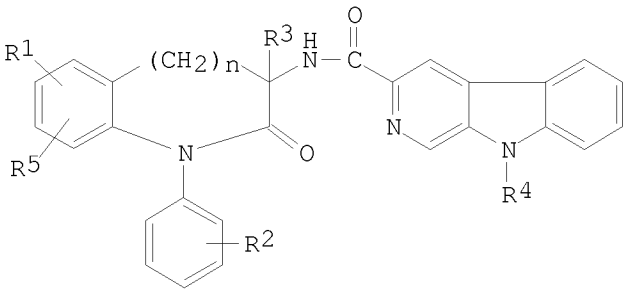
Absolute stereochemistry.



L16 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1994:245060 CAPLUS
DOCUMENT NUMBER: 120:245060
ORIGINAL REFERENCE NO.: 120:43449a,43452a
TITLE: Beta-carboline derivatives with anticholecystokinin activity, and their preparation, use, and pharmaceutical compositions
INVENTOR(S): Yamada, Koichiro; Hikota, Masataka; Yura, Takeshi; Shikano, Toshiro; Nagasaki, Masaaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 572235	A2	19931201	EP 1993-304083	19930526
EP 572235	A3	19940601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06041126	A	19940215	JP 1993-123668	19930526
CA 2097112	A1	19931129	CA 1993-2097112	19930527
US 5434148	A	19950718	US 1993-67931	19930527

PRIORITY APPLN. INFO.: JP 1992-136819 A 19920528
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 120:245060; MARPAT 120:245060
GI



I

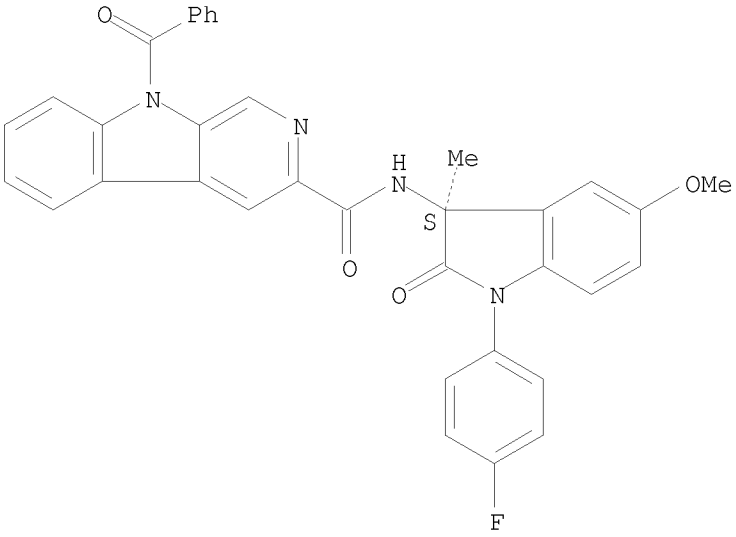
AB Disclosed are β-carboline derivs. I, wherein R1 is H, alkyl, alkoxy, or OH; R5 is H; or R1R5 is alkylenedioxy; R2 is H, halo, alkoxy, or OH; R3 is H, carbamoylalkyl, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; R4 is H, alkyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, arylcarbonyl, alkanesulfonyl, alkoxycarbonyl, aralkyl, formyl, or dialkylsulfamoyl; and n is 0, 1 or 2; and their pharmaceutically acceptable salts. Also claimed is a process for preparing I by formation of the bridging amide linkage, use of the compds. for prophylaxis or treatment of digestive diseases, and pharmaceuticals containing I. Examples include 85 invention compound syntheses and 48 precursor prepns. Thus, Friedel-Crafts cyclization of 4-MeOC6H4NHC6H4F-4 with oxalyl chloride gave 1-(4-fluorophenyl)-5-methoxy-1H-indole-2,3-dione, which reacted with NH2OH.HCl to give the 3-oxime. Hydrogenation of the latter to the 3-amino derivative, and amidation of this with β-carbolin-3-ylcarbonyl chloride, gave I [n = 0, R1 = 5-MeO, R2 = 4-F, R3 = R4 = R5 = H]. The compound I [n = 0, R3 = Me, other Rs = H] at 10 mg/kg i.v. in rats gave significant inhibition of pancreatic secretion induced by CCK-8 (no addnl. data). I are also said to show low toxicity.

IT 154058-37-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK antagonist)

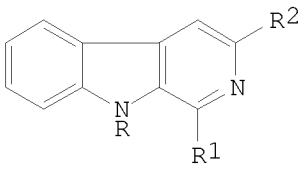
RN 154058-37-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-benzoyl-N-[1-(4-fluorophenyl)-2,3-dihydro-5-methoxy-3-methyl-2-oxo-1H-indol-3-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1992:469758 CAPLUS
DOCUMENT NUMBER: 117:69758
ORIGINAL REFERENCE NO.: 117:12271a,12274a
TITLE: Reaction of 3H-pyrano[3,4-b]indol-3-ones and 3H-2-benzopyran-3-ones with heterodienophiles: a two step synthesis for some 9H-pyrido[3,4-b]indoles and isoquinolines
AUTHOR(S): Van Broeck, P.; Van Doren, P.; Hoornaert, G.
CORPORATE SOURCE: Lab. Org. Synth., K. U. Leuven, Heverlee, B-3001, Belg.
SOURCE: Synthesis (1992), (5), 473-6
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:69758
GI



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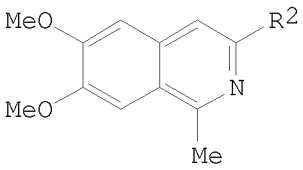

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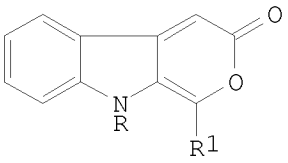

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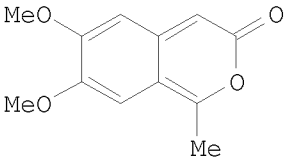


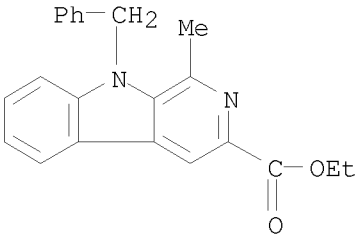
IV

AB A short synthetic method for 3-substituted 9H-pyrido[3,4-b]indoles I (R = Me, PhCH2; R1 = Me, Ph; R2 = CO2Et, 4-MeC6H4SO2) and isoquinolines II using cycloaddn.-elimination reactions between 3H-pyrano[3,4-b]indol-3-ones III or 3H-2-benzopyran-3-ones IV and electron-poor nitriles, such as, Et cyanoformate and p-toluenesulfonyl cyanide is described. Extension of the method to benzoyl cyanide and imines was not possible. The diene system undergoes cycloaddn. with the carbonyl function of the former compound, subsequent elimination of carbon dioxide followed by an electrocyclic reaction involving the C-O bond gives ring opened ketonic compds. Imines attack the lactone function of the pyranone system ultimately yielding a β-lactam in some cases.

IT 142272-78-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 142272-78-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-methyl-9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L16 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1988:528862 CAPLUS

DOCUMENT NUMBER: 109:128862

ORIGINAL REFERENCE NO.: 109:21465a,21468a

TITLE: Synthesis of substituted pyrido[3,4-b]indole-3-carboxamides and related compounds as benzodiazepine receptor agonists/antagonists

AUTHOR(S): Mehta, Pratibha; Saxena, Anil K.; Gulati, A.; Anand, Nitya
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(2), 140-3
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:128862
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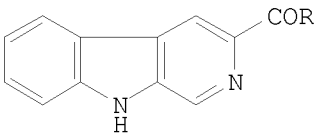
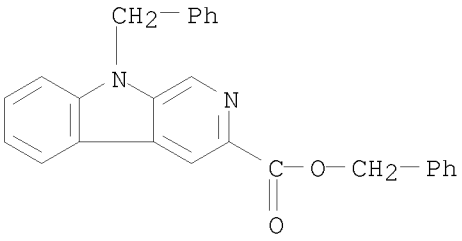


I

AB 9H-Pyrido[3,4-b]indole-3-carboxamides I (R = octylamino, morpholino, piperidino, etc.) have been prepared by the condensation of Me 9H-pyrido[3,4-b]indole-3-carboxylate with the appropriate amine at 150° for 48 h. The receptor binding studies and electroencephalog. of these compds. show that some of them have promising benzodiazepine receptor agonistic and antagonistic activities.
IT 116524-13-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 116524-13-1 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, phenylmethyl ester (CA INDEX NAME)



L16 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1985:113334 CAPLUS
DOCUMENT NUMBER: 102:113334
ORIGINAL REFERENCE NO.: 102:17803a,17806a
TITLE: [2,3] Fused indoles. Synthesis of β-carbolines and azepino[4,5-b]indoles from 3-(2-alkylindol-3-yl)-2-azidoacrylates
AUTHOR(S): Moody, Christopher J.; Ward, John G.
CORPORATE SOURCE: Dep. Chem., Imp. Coll. Sci. Technol., London, SW7 2AY, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1984), (12), 2895-901
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:113334
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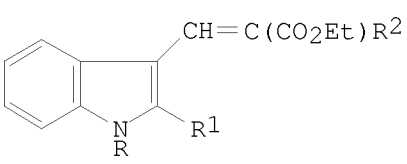

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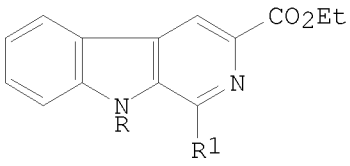

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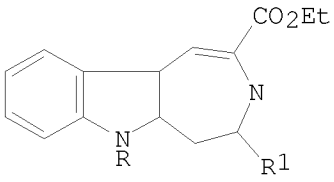

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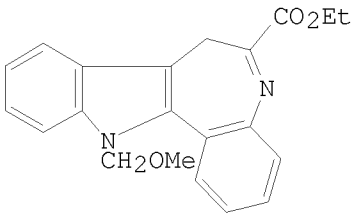
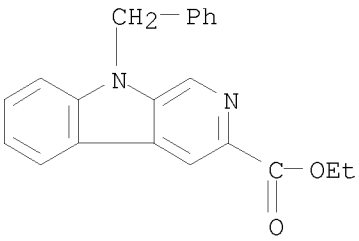


IV

AB Thermal decomposition of the title azidoacrylates (I; R = CH2Ph, R1 = Me, R2 = N3; R = CH2OMe, R1 = Me, Et, Pr, cyclohexyl, CHMe2, R2 = N3), prepared from the corresponding 2-substituted indole-3-carboxaldehydes by sequential N-alkylation and condensation with EtO2CCH2N3, gave pharmacol. important β-carbolines II (R = CH2Ph, R1 = H; R = CH2OMe, R1 = H, Me, Et), azepinoindoles III (R = CH2OMe, R1 = H, Me), or enamines I [R = CH2OMe, R1 = CH:CH2, CMe:CH2, CH:CHMe, cyclohexen-1-yl, R2 = NH2), depending on the reaction conditions, whereas thermolysis of I (R = ClH2OMe, R1 = Ph, R2 = N3) gave the benzazepinoindole IV. Formation of III, shown to proceed by cyclization of the initially formed enamines, represents a new reaction of vinyl azides, which is particularly favored in the indole series.
IT 95202-52-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 95202-52-1 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 9-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

L16 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1963:73257 CAPLUS
DOCUMENT NUMBER: 58:73257
ORIGINAL REFERENCE NO.: 58:12521h,12522a-d
TITLE: 1-Alkyl(or aryl)-β-carboline-3-carboxylic acid amides
INVENTOR(S): Leonard, Frederick
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 23 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 612725		19620717	BE	
PRIORITY APPLN. INFO.:			US	19610118

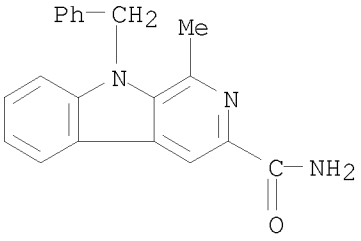
AB Me esters of tryptophan are condensed with aldehydes to give β-carboline-3-carboxylic acids which are then converted to the title compds. which can be used as tranquilizers. E.g., a mixture of 200 g. DL-tryptophan in 2000 ml. MeOH is saturated with HCl at 0°, the mixture kept 24 hrs., and the solid material filtered off; the filtrate gives 245.2 g. Me ester (I), m. 238°, of tryptophan-HCl. I (485 g.) is added to a mixture of 2000 ml. H2O and 200 ml. AcH, the mixture kept until a neg. ninhydrin reaction is obtained, 1 l. CHCl3 and 100 ml. NH3are added, the mixture is extracted with CHCl3, the extract washed with H2O, dried, filtered, and evaporated to dryness, and the residue recrystd. to give 399 g. Me 1-methyl-1,2,3,4-tetrahydro-β-carboline-3-carboxylate (II), m. 114-15° (MeOH), 85.8% yield. II (120 g.) is dissolved in MeOH, the solution saturated with NH3, the mixture kept 3 days, the solid material filtered off, the filtrate evaporated to dryness, and the residue recrystd. to give 98.3 g. 1-methyl-1,2,3-4-tetrahydro-β-carboline-3-carboxylic acid amide, m. 205° (MeOH), 87.2% yield. Similarly prepared are the following β-carbolin-3-carboxylic acid amides (m.p. given): 1,2,3,4-tetrahydro-, 222°; 1-benzyl-1,2,3,4-tetrahydro-, 197-8°; N,1-dimethyl-1,2,3,4-tetrahydro-, 215°; N-(2-diethylaminoethyl)-1-methyl-1,2,3,4-tetrahydro-, 176°; 1-phenyl-1,2,3,4-tetrahydro-, 232-5°; N-methyl-1-trifluoromethyl-1,2,3,4-tetrahydro-, 237-40°; 1-trifluoromethyl-1,2,3,4-tetrahydro-, 209-13°; N-methyl-1-benzyl-, 253° (BuOH); N-ethyl-1-methyl-, 230°; N,1-dimethyl-, 293-4°; N-methyl-1-isopropyl-, 296-7°; N-methyl-1-phenyl-, 256-7°; N-(3-pyridyl)-1-isopropyl-, 264-5° (dioxane); N-phenyl-1-methyl-, 273-5°; N-benzyl-1-methyl-, 295-6°; N-(3-pyridyl)-1-methyl-, 308-10°; N-(3-pyridylmethyl)-1-methyl-, 265-6°; N-diethylaminoethyl-1-benzyl-, 181-2° (iso-PrOH); N-(β-diethylaminoethyl)-1-isopropyl-, 174-6°; N-(β-diethylaminoethyl)-1-phenyl-, 172-3°; N-(γ-dimethylaminopropyl)-1-phenyl-, 189-91°; N-(γ-dimethylpropyl)-1-isopropyl-, 176-7°; N-(β-hydroxyethyl)-1-phenyl-, 236-7° (iso-PrOH); N-(β-hydroxyethyl)-1-benzyl-, 244°; N,N-diethyl-1-methyl-, 184° (EtOAc); N-(β-diethylaminoethyl)-1-methyl-, 169°;

N-(β-hydrozyethyl)-1-methyl-, 220-2°; 1-methyl-, 284-5° (MeOH-dioxane); 1-trifluoromethyl-, 309-10° [tetrahydrofuran-(iso-Pr)2O]; 1-methyl-9-benzyl-, 237-8°; 1-isopropyl-, 275-6°; 1-phenyl-, 262-3°; 1-benzyl-, 208°; and 1-methyl-1,2,3,4-tetrahydro-, 206-8° (CHCl3). Also prepared are: 1-methyl-β-carboline-3-thiocarboxylic acid amide, 258-60° (MeOCH2CH2OH); 1-methyl-3-carbamoyl-3,4-dihydro-β-carboline HCl salt, 278-80° (EtOH); 3-β-carbolinecarboxylic acid hydrazide, 292-3°; 1-methyl-3-carbamoyl-β-carboline methanesulfonate, 336°; Me 1-trifluoromethyl-β-carboline-3-carboxylate, 252-3° (xylene); β-carboline-3-carboxylic acid amide, 314-15°.

IT 94678-39-4P, 9H-Pyrido[3,4-b]indole-3-carboxamide, 9-benzyl-1-methyl-
RL: PREP (Preparation)
(preparation of)

RN 94678-39-4 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxamide, 1-methyl-9-(phenylmethyl)- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 11:54:16 ON 29 JUN 2010)

FILE 'REGISTRY' ENTERED AT 11:54:24 ON 29 JUN 2010
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM

FILE 'STNGUIDE' ENTERED AT 11:55:07 ON 29 JUN 2010

FILE 'REGISTRY' ENTERED AT 11:55:58 ON 29 JUN 2010
L3 STRUCTURE UPLOADED
L4 50 S L3 SAM
L5 STRUCTURE UPLOADED
L6 50 S L5 SAM
L7 STRUCTURE UPLOADED
L8 50 S L7 SAM
L9 STRUCTURE UPLOADED
L10 50 S L9 SAM
L11 1973 S L9 FULL

FILE 'CAPLUS' ENTERED AT 12:00:12 ON 29 JUN 2010
L12 417 S L11

10559824

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L13             STRUCTURE UPLOADED
L14          10 S L13 SAM
L15         216 S L13 FULL

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     FILE 'CAPLUS' ENTERED AT 12:02:22 ON 29 JUN 2010
L16          30 S L15 FULL

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---Logging off of STN---



=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     175.30     564.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -25.50     -25.50

STN INTERNATIONAL LOGOFF AT 12:03:23 ON 29 JUN 2010
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